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=>

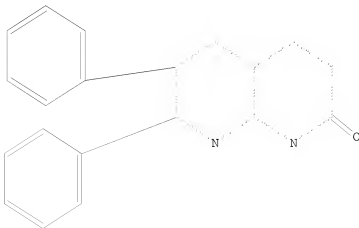
Uploading C:\Program Files\Stnexp\Queries\10576796.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



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=> s l1 ful  
FULL SEARCH INITIATED 20:46:12 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1636 TO ITERATE

100.0% PROCESSED 1636 ITERATIONS 104 ANSWERS  
SEARCH TIME: 00.00.01

L2 104 SEA SSS FUL L1

=> file caplus  
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FILE COVERS 1907 - 2 Jun 2009 VOL 150 ISS 23  
FILE LAST UPDATED: 1 Jun 2009 (20090601/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

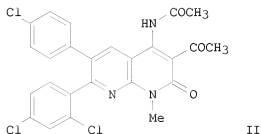
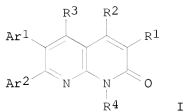
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=> s l2  
L3 2 L2  
=> d abs fbib fhistr 2

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN  
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AB Novel naphthyridinones [I; R1 = halo, CN, NH2 and derivs., (un)substituted alkyl, hetero/aryl, etc.; R2 = H, NH2 and derivs., (un)substituted alk(en/yn)yl, aryl, etc.; or R1CCR2 = (un)substituted 4-7-membered ring; R3 = H, CF3, OCF3, halo, (un)substituted cyclo/alkyl, alkyloxy; R4 = H, CH2-R5; R5 = H, (un)substituted alk(en/yn)yl, hetero/aryl, etc.; Ar1, Ar2 = independently (un)substituted hetero/aryl] and their pharmaceutically acceptable salts are antagonists and/or inverse agonists of the cannabinoid-1 (CB1) receptor and are useful in the treatment, prevention and suppression of diseases mediated by the CB1 receptor. The compds. of the present invention are useful as centrally acting drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia. For example, II was prepared in 5 steps: (a) condensation of DMF di-Me acetal with 4-Chlorobenzyl 2,4-dichlorophenyl ketone; (b) cyclocondensation with 2-cyanoacetamide; (c) reaction of pyridinone with POC13; (d) amination of chloride with MeNH2; and one pot acylation/cyclization of methylated amine with (AcO)2O in Py the presence of DMAP/CH2Cl2. CB1 antagonist/inverse agonist compds. I have IC50s of <1 μM in the CB1 binding assay; selective CB1 antagonist/inverse agonist compds. have IC50s 100-fold greater in the CB2 binding assay than in the CB1 assay, and generally have IC50s of ≥1 μM in the CB2 binding assay. Preferred CB1 antagonist/inverse agonist compds. I generally have EC50s of <1 μM in the CB1 functional assay and selective CB1 antagonist/inverse agonists generally have EC50s of >1 μM in the CB2 functional assay.

AN 2005:451381 CAPLUS  
DN 143:7697

TI Preparation of substituted naphthyridinones as antagonists and/or inverse agonists of cannabinoid-1 receptor with therapeutic uses  
 IN Debenham, John S.; Doss, George A.; Madsen-Duggan, Christina B.; Walsh, Thomas F.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DT Patent

LA English

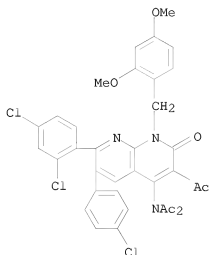
FA.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005047285	A1	20050526	WO 2004-US36102	20041029
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004289638	A1	20050526	US 2003-517060P AU 2004-289638 US 2003-517060P WO 2004-US36102	P 20031104 20041029 P 20031104 W 20041029
	CA 2544191	A1	20050526	CA 2004-2544191 US 2003-517060P WO 2004-US36102	20041029 P 20031104 W 20041029
	EP 1682550	A1	20060726	EP 2004-796813 US 2003-517060P WO 2004-US36102	20041029 P 20031104 W 20041029
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	CN 1875021	A	20061206	US 2003-517060P WO 2004-US36102 CN 2004-80032652 US 2003-517060P	P 20031104 W 20041029 20041029 P 20031104
	JP 2007510649	T	20070426	WO 2004-US36102 JP 2006-538342 US 2003-517060P	W 20041029 20041029 P 20031104
	IN 2006DN01549	A	20070810	WO 2004-US36102 IN 2006-DN1549 US 2003-517060P	W 20041029 20060322 P 20031104
	US 20070032517	A1	20070208	WO 2004-US36102 US 2006-576796 US 2003-517060P	W 20041029 20060421 P 20031104
	WO 2004-US36102			W 20041029	
OS	CASREACT 143:7697; MARPAT 143:7697				
IT	852315-35-6P, N-[1-(2,4-Dimethoxybenzyl)-3-acetyl-7-(2,4-dichlorophenyl)-6-(4-chlorophenyl)-1,2-dihydro-2-oxo-1,8-naphthyridin-4-yl]-N-acetylacetamide				
	RL: BYP (Byproduct); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(drug candidate; preparation of naphthyridinones as antagonists and/or				

inverse agonists of cannabinoid-1 receptor)

RN 852315-35-6 CAPLUS

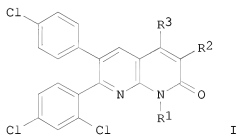
CN Acetamide, N-acetyl-N-[3-acetyl-6-(4-chlorophenyl)-7-(2,4-dichlorophenyl)-1-[(2,4-dimethoxyphenyl)methyl]-1,2-dihydro-2-oxo-1,8-naphthyridin-4-yl]-  
(CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d abs fbib fhistr 1

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN  
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AB Synthesis, SAR, and binding affinities are described for a new class of 1,8-naphthyridinones I (R1 = H, Me, Me2CHCH2, MeOCH2CH2, PhCH2, etc.; R2 = H, Me, CN, MeO, Me2N, Me2CH, MeCO; R3 = Me, H2N, Me2N, MeCONH, HOCH2CONH, etc.) as CB1 receptor specific inverse agonists. Food intake, knockout mouse, and pharmacokinetic evaluation of I (R1 = Me; R2 = MeCO; R3 = MeCONH) indicate that this compound is an effective orally active modulator of CB1.

AN 2005:1341986 CAPLUS  
DN 144:232941  
TI Synthesis of functionalized 1,8-naphthyridinones and their evaluation as  
novel, orally active CB1 receptor inverse agonists  
AU Debenham, John S.; Madsen-Duggan, Christina B.; Walsh, Thomas F.; Wang,  
Junying; Tong, Xinchun; Doss, George A.; Lao, Julie; Fong, Tung M.;  
Schaeffer, Marie-Therese; Xiao, Jing Chen; Huang, Cathy R.-R. C.; Shen,  
Chun-Pyn; Feng, Yue; Marsh, Donald J.; Stribling, D. Sloan; Shearman,  
Lauren P.; Strack, Alison M.; MacIntyre, D. Euan; Van der Ploeg, Lex H.  
T.; Goulet, Mark T.  
CS Department of Medicinal Chemistry, Merck Research Laboratories, Rahway,  
NJ, 07065, USA  
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(3), 681-685  
CODEN: BMCLE8; ISSN: 0960-894X  
PB Elsevier B.V.  
DT Journal  
LA English  
OS CASREACT 144:232941  
IT 852315-00-5P  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT  
(Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP  
(Preparation); RACT (Reactant or reagent)  
(preparation of functionalized 1,8-naphthyridinones and their evaluation as  
orally active CB1 receptor inverse agonists)  
RN 852315-00-5 CAPLUS  
CN Acetamide, N-[3-acetyl-6-(4-chlorophenyl)-7-(2,4-dichlorophenyl)-1,2-  
dihydro-1-methyl-2-oxo-1,8-naphthyridin-4-yl]- (CA INDEX NAME)

